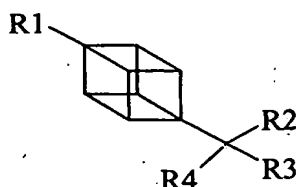


**THE EMBODIMENTS OF THE INVENTION IN WHICH AN EXCLUSIVE PROPERTY OR PRIVELEDGE IS CLAIMED ARE DEFINED AS FOLLOWS:**

1. A compound of the formula:

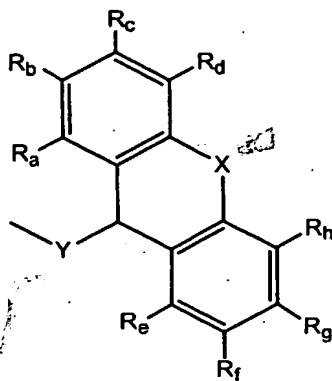


or a pharmaceutically acceptable salts or hydrates thereof, or a pharmaceutically acceptable metabolically-labile ester or amide thereof, wherein:

**R1** is an acidic group selected from the group of carboxyl, phosphono, phosphino, sulfono, sulfinio, borono, tetrazol, isoxazol, -CH<sub>2</sub>-carboxyl, -CH<sub>2</sub>-phosphono, -CH<sub>2</sub>-phosphino, -CH<sub>2</sub>-sulfono, -CH<sub>2</sub>-sulfinio, -CH<sub>2</sub>-borono, -CH<sub>2</sub>-tetrazol, and -CH<sub>2</sub>-isoxazol;

**R2** is a basic group selected from the group of 1° amino, 2° amino, 3° amino, quaternary ammonium salts, imidazol, guanidino, boronoamino, allyl-urea, thiourea, and NHR<sub>5</sub>, wherein R<sub>5</sub> is -H or an acyl group;

**R3** is of the formula;



wherein:

Y is absent or selected from the group of  $(CH_2)_n$  (where  $n=1-4$ ), C=O, O, or NH;

X is selected from the group of O, NH, S, S=O, or  $SO_2$ ;

$R_a$ ,  $R_b$ ,  $R_c$ ,  $R_d$ ,  $R_e$ ,  $R_f$ ,  $R_g$  and  $R_h$  are independently selected from the group of -H, hydroxyl, lower alkyl, substituted lower alkyl, lower alkoxy, alkenyl, alkynyl, amino, halogen, aryl, substituted aryl, nitrile, acyl, carboxy or amido;

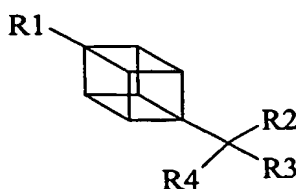
**R4** is a group selected from the group of carboxyl, phosphono, phosphino, sulfono, sulfino, borono, tetrazol, isoxazol;

with the proviso that, when  $X=S$  and Y is absent or  $(CH_2)_n$ , then at least one of  $R_a$  through  $R_h$  is other than -H.

2. The compound as claimed in claim 1, wherein **R1** is COOH or  $-CH_2COOH$ .
3. The compound as claimed in claim 1, wherein **R2** is  $NH_2$ .
4. A pharmaceutical formulation, which comprises the compound according to claim 1, and a pharmaceutically acceptable carrier, diluent or excipient.
5. Use of the compound according to claim 1, to modulate one or more metabotropic glutamate receptor (mGluR) functions in a warm blooded mammal.
6. Use of the compound according to claim 1, to antagonize mGluRs group I, or agonize mGluRs group II, or agonize mGluRs group III in a mammal in need thereof.
7. Use of the compound according to claim 1 for the treatment of a neurological disease or disorder selected from the group of: cerebral deficits subsequent to cardiac bypass surgery and grafting, cerebral ischemia, stroke, cardiac arrest, spinal cord trauma, head trauma, perinatal hypoxia, and hypoglycemic neuronal damage, Alzheimer's disease, Huntington's

Chorea, amyotrophic lateral sclerosis, AIDS-induced dementia, ocular damage, retinopathy, cognitive disorders, idiopathic and drug-induced Parkinson's disease, muscular spasms, convulsions, migraine headaches, urinary incontinence, psychosis, drug tolerance, withdrawal, and cessation (i.e. opiates, benzodiazepines, nicotine, cocaine, or ethanol), smoking cessation, anxiety and related disorders (e.g. panic attack), emesis, brain edema, chronic pain, sleep disorders, Tourette's syndrome, attention deficit disorder, and tardive dyskinesia.

8. Use of the compound according to claim 1 for the treatment of a psychiatric disease or disorder selected from the group comprising: schizophrenia, anxiety and anxiety related disorders, panic attack, depression, bipolar disorders, psychosis, and obsessive compulsive disorders.
9. Use of the compound according to claim 1 for the treatment of anoxia induced neuronal cell death, cerebral ischemia, stroke, anxiety and anxiety related disorders, ischemia-related neuropathies from surgical procedures, glaucoma and macular degeneration or modulation of mGluRs in a mammal in need of such therapy.
10. Use of a prophylactically effective amount of a compound of the formula:



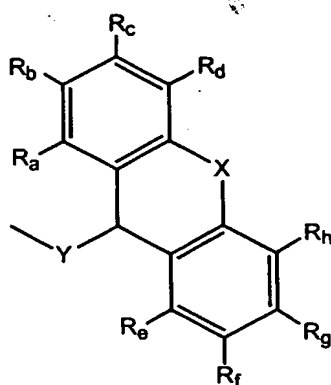
or a pharmaceutically acceptable salts or hydrates thereof, or a pharmaceutically acceptable metabolically-labile ester or amide thereof, to prevent a disease or condition in a mammal in need thereof, wherein:

**R1** is an acidic group selected from the group of carboxyl, phosphono, phosphino, sulfono,

sulfinio, borono, tetrazol, isoxazol, -CH<sub>2</sub>-carboxyl, -CH<sub>2</sub>-phosphono, -CH<sub>2</sub>-phosphino, -CH<sub>2</sub>-sulfono, -CH<sub>2</sub>-sulfinio, -CH<sub>2</sub>-borono, -CH<sub>2</sub>-tetrazol, and -CH<sub>2</sub>-isoxazol;

**R2** is a basic group selected from the group of 1° amino, 2° amino, 3° amino, quaternary ammonium salts, imidazol, guanidino, boronoamino, allyl, urea, thiourea, and NHR5, wherein R5 is -H or an acyl group;

**R3** is of the formula;



wherein:

Y is absent or selected from the group of (CH<sub>2</sub>)<sub>n</sub> (where n=1-4), C=O, O, or NH;

X is selected from the group of O, NH, S, S=O, or SO<sub>2</sub>;

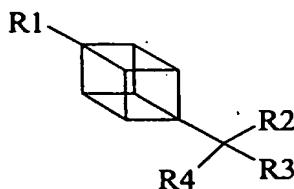
R<sub>a</sub>, R<sub>b</sub>, R<sub>c</sub>, R<sub>d</sub>, R<sub>e</sub>, R<sub>f</sub>, R<sub>g</sub> and R<sub>h</sub> are independently selected from the group of -H, hydroxyl, lower alkyl, substituted lower alkyl, lower alkoxy, alkenyl, alkynyl, amino, halogen, aryl, substituted aryl, nitrile, acyl, carboxy or amido;

**R4** is a group selected from the group of carboxyl, phosphono, phosphino, sulfono, sulfinio, borono, tetrazol, isoxazol.

11. The use according to claim 10, wherein said disease or condition is selected from anoxia induced cell death, ischemia-related neuropathies from surgical procedures, glaucoma and

macular degeneration.

12. Use of a prophylactically effective amount of a compound of the formula:

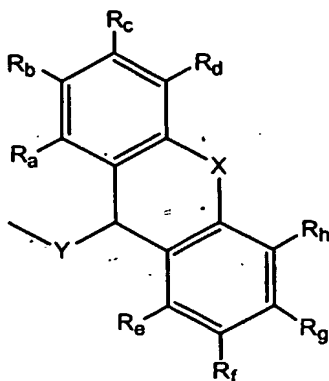


or a pharmaceutically acceptable salts or hydrates thereof, or a pharmaceutically acceptable metabolically-labile ester or amide thereof, as neuroprotectant in a mammal in need thereof, wherein:

**R1** is an acidic group selected from the group of carboxyl, phosphono, phosphino, sulfono, sulfinio, borono, tetrazol, isoxazol, -CH<sub>2</sub>-carboxyl, -CH<sub>2</sub>-phosphono, -CH<sub>2</sub>-phosphino, -CH<sub>2</sub>-sulfono, -CH<sub>2</sub>-sulfinio, -CH<sub>2</sub>-borono, -CH<sub>2</sub>-tetrazol, and -CH<sub>2</sub>-isoxazol;

**R2** is a basic group selected from the group of 1° amino, 2° amino, 3° amino, quaternary ammonium salts, imidazol, guanidino, boronoamino, allyl, urea, thiourea, and NHR<sub>5</sub>, wherein R<sub>5</sub> is -H or an acyl group;

**R3** is of the formula;



wherein:

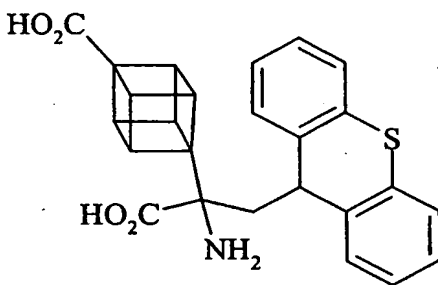
Y is absent or selected from the group of  $(CH_2)_n$  (where  $n=1-4$ ), C=O, O, or NH;

X is selected from the group of O, NH, S, S=O, or  $SO_2$ ;

$R_a$ ,  $R_b$ ,  $R_c$ ,  $R_d$ ,  $R_e$ ,  $R_f$ ,  $R_g$  and  $R_h$  are independently selected from the group of -H, hydroxyl, lower alkyl, substituted lower alkyl, lower alkoxy, alkenyl, alkynyl, amino, halogen, aryl, substituted aryl, nitrile, acyl, carboxy or amido;

$R_4$  is a group selected from the group of carboxyl, phosphono, phosphino, sulfono, sulfinio, borono, tetrazol, isoxazol.

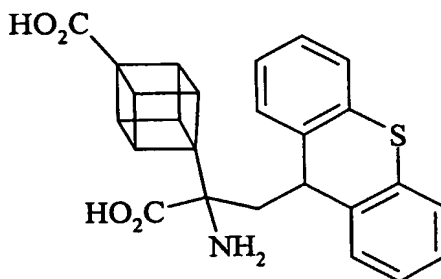
13. Use of a therapeutically effective amount of a compound having the formula:



or a pharmaceutically acceptable salts or hydrates thereof, or a pharmaceutically acceptable metabolically-labile ester or amide thereof, for the treatment of anoxia induced neuronal cell death, cerebral ischemia, stroke, anxiety and related disorders, ischemia-related neuropathies from surgical procedures, glaucoma and macular degeneration or modulation of mGluRs in a mammal in need of such therapy.

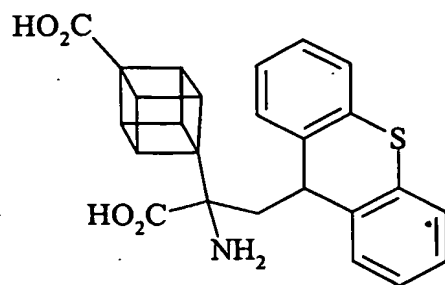
14. The use according to any one of claims 10, 11, or 12, wherein in the said compound:  
Y is  $(CH_2)_n$  and X is O, NH, S, S=O or  $SO_2$ .

15. The use according to any one of claims 10, 11, or 12, wherein in the said compound:  
Y is  $(CH_2)_n$  and X is O or S, S=O or  $SO_2$ .
16. The use according to any one of claims 10, 11, or 12, wherein in the said compound:  
R1 is carboxy or  $-CH_2$ -carboxy;  
R2 is 1° amino, 2° amino, 3° amino;  
R3 is xanthenyl or thioxanthenyl or  $-CH_2$ -xanthenyl or  $-CH_2$ -thioxanthenyl  
R4 is carboxy.
17. The use according to any one of claims 10, 11, or 12, wherein in the said compound:  
wherein:  
R1 is COOH or  $-CH_2$ -COOH  
R2 is  $NH_2$   
R3 is xanthenyl or thioxanthenyl or  $-CH_2$ -xanthenyl or  $-CH_2$ -thioxanthenyl  
R4 is COOH
18. Use of a prophylactically effective amount of a compound having the formula:



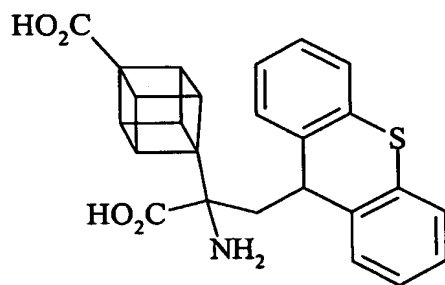
or a pharmaceutically acceptable salts or hydrates thereof, or a pharmaceutically acceptable metabolically-labile ester or amide thereof, as a neuroprotectant in a mammal in need of such therapy.

19. Use of a prophylactically effective amount of a compound having the formula:



or a pharmaceutically acceptable salts or hydrates thereof, or a pharmaceutically acceptable metabolically-labile ester or amide thereof, in the prevention of anoxia induced cell death in a mammal in need of such therapy.

20. Use of a prophylactically effective amount of a compound having the formula:



or a pharmaceutically acceptable salts or hydrates thereof, or a pharmaceutically acceptable metabolically-labile ester or amide thereof, to prevent disease or conditions in a mammal in need of such therapy.